L1 ANSWER 5 OF 10 WPIX COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2000-340355 [30] WPIX
DOC. NO. CPI: C2000-103441 [30]
TITLE: New arylmethyl and heterocyclylmethyl substituted heteroaryl-indazole derivatives useful in treatment of cardiovascular, ischemic and urogenital disorders
DERWENT CLASS: B02; B03
INVENTOR: DEMBOWSKY K; FEURER A; FUERSTNER C; HUETTER J; PERZBORN E; ROBYR-FUERSTNER C; STASCH J; STRAUB A
PATENT ASSIGNEE: (FARB-C) BAYER AG
COUNTRY COUNT: 88

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 19846514	A1	20000420	(200030)*	DE	44[0]	
WO 2000021954	A1	20000420	(200030)	DE		
AU 9963300	A	20000501	(200036)	EN		
EP 1119566	A1	20010801	(200144)	DE		
JP 2002527435	W	20020827	(200271)	JA	98	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
DE 19846514	A1	DE 1998-19846514	19981009
AU 9963300	A	AU 1999-63300	19990929
EP 1119566	A1	EP 1999-950564	19990929
WO 2000021954	A1	***WO 1999-EP7202	19990929***
EP 1119566	A1	WO 1999-EP7202	19990929
JP 2002527435	W	WO 1999-EP7202	19990929
JP 2002527435	W	JP 2000-575860	19990929

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9963300	A	Based on	WO 2000021954 A
EP 1119566	A1	Based on	WO 2000021954 A
JP 2002527435	W	Based on	WO 2000021954 A

PRIORITY APPLN. INFO: DE 1998-19846514 19981009
AN 2000-340355 [30] WPIX
AB DE 19846514 A1 UPAB: 20060116
NOVELTY - 1-(Aryl or heterocyclyl)methyl-3-heteroaryl-indazole derivatives are new.
DETAILED DESCRIPTION - The 1-(aryl or heterocyclyl)methyl-3-

heteroaryl-indazole derivatives are compounds of formula (I) and their isomers, salts and N-oxides are new:

R1 = 6-membered N-containing heteroaryl substituted with:(A) alkyl, alkenyl, alkynyl, cycloalkoxy or aryl (each optionally substituted with 17 groups (when aryl = phenyl, this must be substituted); and/or (B) saturated or unsaturated heterocyclyl optionally substituted with 6 groups; and/or (C) alkyl substituted with 13 groups; and/or (D) alkoxy substituted with OH, amino (optionally mono- or disubstituted with alkyl, cycloalkyl or acyl) or a N-bonded saturated or partly unsaturated heterocycle; and/or (E) halo-acyl, acyloxy, or arylthio or heteroarylthio (each optionally substituted with halo, alkyl or alkoxy); and/or (F) SO2Rq or SORr; and/or (G) -SO3H; and/or (H) -C(O)N=C(NH2)2 or -C=NH(NH2); and/or (I) -CONRsRt; and/or (J) -NRvRw; and/or (K) -PO(OR)(OR'); and R1 is also optionally mono- or disubstituted with 16 groups or a group of formula (i), (j) or -CH=N-OR11 (k);

Rq and Rr = alkyl, cycloalkyl, or aryl or heteroaryl (each optionally substituted with halo, alkyl or alkoxy);

Rs and Rt = H, alkyl or cycloalkyl (each optionally substituted with 8 groups) or aryl or partly or fully unsaturated heterocyclyl (each optionally substituted with halo, alkyl, cycloalkyl or alkoxy); or

NRsRt = a saturated or partly unsaturated heterocycle;

Rv, Rw = acyclic or cyclic acyl, -SO2-alkyl, hydroxymethyl, hydroxyethyl, alkoxycarbonyl, alkoxyalkyl, acyloxymethyl or a group of formula (a), COO-CHRy-O-CO-Rx (b), of formulae (c)-(f), COO-CHRy-O-Rx or of formula (h);:

Rx, Ry = H or alkyl;

Rz = alkyl or cycloalkyl; or

one of Rv and Rw = H;

m = 0-2;

R' = alkyl, aryl or benzyl; and

R4, R5 = H, acyl, or alkyl optionally substituted with 6 groups; or

NR4R5 = a saturated or partly unsaturated heterocycle;

Alk = alkyl optionally substituted with 9 groups;

R11 = H or alkyl;

a = 1-3;

b, b' = 1-3;

R2+R3 = a phenyl ring optionally substituted with 16 groups; and

A = phenyl or an aromatic or saturated heterocycle (each optionally substituted with 16 groups).

The full definitions are given in the DEFINITIONS (Full Definitions) Field.

INDEPENDENT CLAIMS are also included for:

a) the preparation of compounds (I); and

b) a pharmaceutical preparation containing a compound (I) and optionally (i) an organic nitrate or a NO donor or (ii) a compound which inhibits cyclic guanosine monophosphate (cGMP) degradation.

ACTIVITY - Vascular relaxant; thrombocyte aggregation inhibitor; antihypertensive.

MECHANISM OF ACTION - Soluble guanylate cyclase stimulator.

USE - Compounds (I) are useful in human and veterinary medicine for the treatment of cardiovascular disorders, e.g. hypertension, angina, peripheral and cardiac vascular disorders, arrhythmia, thromboembolic disorders, cardiac and cerebral infarctions, such as myocardial infarction, stroke and cranium-brain trauma, and peripheral perfusion disorders. They can also be used for the treatment of arteriosclerosis, urogenital disorders, such as prostate hypertrophy, erectile dysfunction, female sexual dysfunction and incontinence, and restenosis following e.g. angioplasty.

Member(0002)
ABEQ WO 2000021954 A1 UPAB 20060116

NOVELTY - 1-(Aryl or heterocyclyl)methyl-3-heteroaryl-indazole derivatives are new.

DETAILED DESCRIPTION - The 1-(aryl or heterocyclyl)methyl-3-heteroaryl-indazole derivatives are compounds of formula (I) and their isomers, salts and N-oxides are new:

R1 = 6-membered N-containing heteroaryl substituted with:(A) alkyl, alkenyl, alkynyl, cycloalkoxy or aryl (each optionally substituted with 17 groups (when aryl = phenyl, this must be substituted); and/or (B) saturated or unsaturated heterocyclyl optionally substituted with 6 groups; and/or (C) alkyl substituted with 13 groups; and/or (D) alkoxy substituted with OH, amino (optionally mono- or disubstituted with alkyl, cycloalkyl or acyl) or a N-bonded saturated or partly unsaturated heterocycle; and/or (E) halo-acyl, acyloxy, or arylthio or heteroarylthio (each optionally substituted with halo, alkyl or alkoxy); and/or (F) SO2Rq or SORr; and/or (G) -SO3H; and/or (H) -C(O)N=C(NH2)2 or -C=NH(NH2);

and/or (I) -CONRsRt; and/or (J) -NRvRw; and/or (K) -PO(OR)(OR'); and R1 is also optionally mono- or disubstituted with 16 groups or a group of formula (i), (j) or -CH=N-OR11 (k);

Rq and Rr = alkyl, cycloalkyl, or aryl or heteroaryl (each optionally substituted with halo, alkyl or alkoxy);

Rs and Rt = H, alkyl or cycloalkyl (each optionally substituted with 8 groups) or aryl or partly or fully unsaturated heterocyclyl (each optionally substituted with halo, alkyl, cycloalkyl or alkoxy); or

NRsRt = a saturated or partly unsaturated heterocycle;

Rv, Rw = acyclic or cyclic acyl, -SO2-alkyl, hydroxymethyl, hydroxyethyl, alkoxycarbonyl, alkoxyalkyl, acyloxymethyl or a group of formula (a), COO-CHRy-O-CO-Rx (b), of formulae (c)-(f), COO-CHRy-O-Rx or of formula (h);:

Rx, Ry = H or alkyl;

Rz = alkyl or cycloalkyl; or

one of Rv and Rw = H;

m = 0-2;

R' = alkyl, aryl or benzyl; and

R4, R5 = H, acyl, or alkyl optionally substituted with 6 groups; or

NR4R5 = a saturated or partly unsaturated heterocycle;

Alk = alkyl optionally substituted with 9 groups;

R11 = H or alkyl;

a = 1-3;

b, b' = 1-3;

R2+R3 = a phenyl ring optionally substituted with 16 groups; and

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infarction, stroke and cranium-brain trauma, and peripheral perfusion disorders. They can also be used for the treatment of arteriosclerosis, urogenital disorders, such as prostate hypertrophy, erectile dysfunction, female sexual dysfunction and incontinence, and restenosis following e.g. angioplasty.

Member(0004)
ABEQ EP 1119566 A1 UPAB 20060116
NOVELTY - 1-(Aryl or heterocyclyl)methyl-3-heteroaryl-indazole derivatives are new.
DETAILED DESCRIPTION - The 1-(aryl or heterocyclyl)methyl-3-heteroaryl-indazole derivatives are compounds of formula (I) and their isomers, salts and N-oxides are new:
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Rq and Rr = alkyl, cycloalkyl, or aryl or heteroaryl (each optionally substituted with halo, alkyl or alkoxy);
Rs and Rt = H, alkyl or cycloalkyl (each optionally substituted with 8 groups) or aryl or partly or fully unsaturated heterocyclyl (each optionally substituted with halo, alkyl, cycloalkyl or alkoxy); or
NRsRt = a saturated or partly unsaturated heterocycle;
Rv, Rw = acyclic or cyclic acyl, -SO2-alkyl, hydroxymethyl, hydroxyethyl, alkoxycarbonyl, alkoxyalkyl, acyloxymethyl or a group of formula (a), COO-CHRy-O-CO-Rx (b), of formulae (c)-(f), COO-CHRy-O-Rx or of formula (h);:
Rx, Ry = H or alkyl;

Rz = alkyl or cycloalkyl; or
one of Rv and Rw = H;
m = 0-2;
R' = alkyl, aryl or benzyl; and
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NR4R5 = a saturated or partly unsaturated heterocycle;
Alk = alkyl optionally substituted with 9 groups;
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